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(54) Title: NEW PESTICIDAL METHOD			
(57) Abstract			
<p>The invention relates to a method for the control of pests at a locus which comprises treatment of the locus with an effective compound of formula (I) wherein R, A and B are as defined in the description.</p>			
<div style="text-align: center;"> <p style="text-align: right;">(I)</p> </div>			

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New Pesticidal MethodBACKGROUND OF THE INVENTION

1. Field of the Invention

This invention relates to 4-substituted isoxazole derivatives, compositions containing them and their use against arthropod, plant nematode, helminth and protozoan pests, or as intermediates in the synthesis of such pesticidally active compounds.

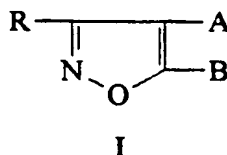
2. Discussion of the Related Art

U S Patent No. 4,173,650 describes 4-(4-fluorobenzoyl)-5-methylisoxazole which is used as an intermediate in the synthesis of compounds having pharmaceutical (anti-inflammatory) activity. Herbicidal 4-substituted isoxazoles are described in the literature, for example in European Patent Publications Nos. 0418175, 0487195, 0524018, 0527036, 0527037, 0560482 and 0580439. No insecticidal properties of such compounds are disclosed in any of these publications. It is, therefore, clear that insecticidal activity is not readily apparent from the prior art. It is therefore an object to provide a method of control of pests, especially mites, aphids, insects, plant nematodes, or soil born insects, especially for use in agricultural or horticultural crops, use in public health.

It is also an object to provide methods of making insecticidally active compositions using the compositions herein as intermediates.

SUMMARY OF THE INVENTION

The invention provides a method for the control of pests at a locus which comprises treatment of the locus with an effective compound of formula I:



wherein:

A is C(O)W and B is R¹; or

A is C(O)R¹ and B is W; and

in which R is hydrogen or -CO₂R⁶;

- 2 -

R¹ is:-

straight- or branched- chain alkyl group having up to six carbon atoms;

cycloalkyl group having from three to six carbon atoms, optionally having a methyl group at its 1-position; or

phenyl optionally having from one to three substituents which may be the same or different selected from the group consisting of halogen; a straight- or branched- chain alkyl, or alkoxy group having up to three carbon atoms, optionally substituted by one or more halogen atoms; or cyano;

W represents:

phenyl having a group R² in its 2-position; R³ in its 3-position; R⁴ in its 4-position; and R⁵ in its 5-position;

R² is:-

hydrogen or halogen;

straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more halogen;

straight- or branched- chain alkyl up to four carbon atoms, substituted by -OR⁷;

a member selected from the group consisting of nitro, cyano, -OR¹⁰, -CO₂R⁹ and -O(CH₂)_pOR⁷, -S(O)_nR⁸, -OSO₂R⁸, -N(R¹¹)(R¹²);

R³ is:-

hydrogen or halogen;

straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more halogen;

straight- or branched- chain alkyl having up to four carbon atoms, substituted by -OR⁷;

straight- or branched- chain alkenyl having up to four carbon atoms; or

a member selected from the group consisting of cyano, -OR¹⁰, -S(O)_mR⁸, -OSO₂R⁸, -CO₂R⁹ and -O(CH₂)_pOR⁷, -N(R¹¹)(R¹²);

R⁴ is:-

hydrogen or halogen;

straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more halogen;

straight- or branched- chain alkyl up to four carbon atoms,

substituted by -OR⁷;

or a member selected from the group consisting of nitro, cyano, -S(O)_mR⁸, -OSO₂R⁸, -CO₂R⁹, -OR¹⁰, -O(CH₂)_pOR⁷ and -N(R¹¹)(R¹²);

5 provided that at least one of R², R³ and R⁴ is selected from -OSO₂R⁸, -S(O)_mR⁸ or -N(R¹¹)(R¹²);

R⁵ is:-

hydrogen or halogen; or

10 straight- or branched- chain alkyl or alkoxy having up to four carbon atoms;

R⁶ is straight- or branched- chain alkyl, alkenyl or alkynyl having up to six carbon atoms, optionally substituted by one or more halogen;

15 R⁷ is straight- or branched- chain alkyl having up to six carbon atoms;

R⁸ and R⁹ independently are straight- or branched- chain alkyl having up to three carbon atoms;

20 R¹⁰ is phenyl, optionally bearing from one to three substituents which may be the same or different selected from the group consisting of halogen, a straight- or branched- chain alkyl, alkoxy group having up to three carbon atoms, optionally substituted by one or more halogen, and cyano;

R¹¹ and R¹² are independently selected from R⁶, R¹⁰, -C(O)R⁶, -C(O)R¹⁰, -CO₂R⁶ and -C(O)NHR⁶

25 n and m independently are zero, one or two; and

p is an integer from one to four;

with the proviso that when R⁴ is -S(O)_mR⁸, R³ is not -O(CH₂)_pOR⁷.

30 These compounds have exhibited a wide range of pesticidal activity including insecticidal, soil insecticidal, aphicidal, acaricidal, and nematocidal activity.

In certain cases the groups A, B and R to R¹² may give rise to geometric and/or optical isomers. All such forms are embraced by the present invention.

35 It will be understood that where provisos appear in the description they are present for reasons of biological efficacy.

A preferred class of compounds of formula (I) are those

wherein:

R¹ is:-

straight- or branched- chain alkyl having up to three carbon atoms;

5 or cycloalkyl having from three or four carbon atoms, optionally having a methyl at its 1-position;

R² is:-

hydrogen, fluorine, chlorine or bromine;

10 straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more halogen;

a member selected from the group consisting of nitro, cyano, -S(O)_mR⁸, -OSO₂R⁸ and -CO₂R⁹;

R³ is:-

hydrogen, fluorine, chlorine or bromine;

15 straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more chlorine or fluorine;

straight- or branched- chain alkyl having up to four carbon atoms substituted by -OR⁷;

20 straight- or branched- chain alkenyl having up to four carbon atoms; or

a member selected from the group consisting of cyano, -S(O)_mR⁸, -OSO₂R⁸, -OR¹⁰, -CO₂R⁹ and -O(CH₂)_pOR⁷;

R⁴ is:-

25 hydrogen, fluorine, chlorine or bromine;

straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more halogen; or

nitro;

R⁵ is hydrogen;

30 R⁶ is straight- or branched- chain alkyl having up to four carbon atoms;

R⁷ is straight- or branched- chain alkyl having up to four carbon atoms;

35 R⁹ is a straight- or branched- chain alkyl group having up to three carbon atoms;

R¹⁰ is phenyl, optionally bearing from one to three substituents which may be the same or different selected from the

group consisting of fluorine, chlorine, bromine, methyl, methoxy, trifluoromethyl and trifluoromethoxy.

A further preferred class of compounds of formula (I) are those wherein:

5

R^1 is:-

straight- or branched- chain alkyl group having up to three carbon atoms; or a cyclopropyl group;

R^2 is:-

10

hydrogen, fluorine, chlorine or bromine;

straight- or branched- chain alkyl or alkoxy having one or two carbon atoms, optionally substituted by one or more halogen;

a member selected from the group consisting of nitro, cyano, $-OR^{10}$, $-SR^{10}$, and $-CO_2R^9$;

R^3 is:-

15

hydrogen, fluorine, chlorine or bromine;

a straight- or branched- chain alkyl or alkoxy group having one or two carbon atoms, optionally substituted by one or more chlorine or fluorine atoms;

methoxymethyl;

20

straight- or branched- chain alkenyl having up to four carbon atoms;

or a member selected from the group consisting of cyano, $-OR^{10}$, $-CO_2R^9$ and $-OCH_2CH_2OCH_3$;

R^4 is:-

25

hydrogen, fluorine, chlorine or bromine;

straight- or branched- chain alkyl or alkoxy having one or two carbon atoms, optionally substituted by one or more halogen;

$-S(O)_mR^8$, $-OSO_2R^8$ or nitro;

R^5 is hydrogen;

30

R^6 is straight- or branched- chain alkyl having up to four carbon atoms;

R^9 is methyl or ethyl;

R^{10} is phenyl, optionally bearing from one to three substituents which may be the same or different selected from the group consisting of fluorine, chlorine, bromine, methyl, methoxy, trifluoromethyl and trifluoromethoxy.

35

- 6 -

The following compounds are representative examples of the invention:

- 5 1. 4-Cyclopropylcarbonyl-5-(2,3-dichloro-4-methylsulfonylphenyl)-3-(ethoxycarbonyl)isoxazole
2. 5-(2-Chloro-3-methoxy-4-methylsulfonylphenyl)-4-cyclopropylcarbonyl-3-(ethoxycarbonyl)isoxazole
3. 5-(2-Chloro-4-methylthiophenyl)-4-cyclopropylcarbonyl-3-(hexyloxycarbonyl)isoxazole
- 10 4. 5-(2-Chloro-4-methylthiophenyl)-4-cyclopropylcarbonyl-3-((2,2,2-trifluoroethoxy)carbonyl)isoxazole
5. 5-(2-Chloro-4-ethylsulfonylphenyl)-4-cyclopropylcarbonyl-3-(ethoxycarbonyl)isoxazole
- 15 6. 4-Cyclopropylcarbonyl-3-(ethoxycarbonyl)-5-(2-methyl-4-methylsulfinylphenyl)isoxazole
7. 4-Cyclopropylcarbonyl-3-(ethoxycarbonyl)-5-(2-methyl-4-methylthiophenyl)isoxazole
8. 5-(2-Chloro-4-methylthiophenyl)-4-cyclopropylcarbonyl-3-(ethoxycarbonyl)isoxazole
- 20 9. 4-(Cyclopropylcarbonyl)-5-(4-methyl-3-methylsulfinylphenyl)isoxazole
10. 5-(4-Chloro-3-methylthiophenyl)-4-(cyclopropylcarbonyl)isoxazole
11. 4-Cyclopropylcarbonyl-5-(4-methyl-3-methylthiophenyl)isoxazole
- 25 12. 5-(4-Chloro-3-methylsulfonylphenyl)-4-(cyclopropylcarbonyl)isoxazole
13. 4-(Cyclopropylcarbonyl)-5-(4-methyl-3-methylsulfonylphenyl)isoxazole
- 30 14. 5-(4-methylsulfonyloxyphenyl)-4-(cyclopropylcarbonyl)isoxazole
15. 4-(Cyclopropylcarbonyl)-5-(4-methylthiophenyl)isoxazole
16. 5-Cyclopropyl-4-(2,3-dichloro-4-methylsulfinylbenzoyl)isoxazole
- 35 17. 4-(2,3-dichloro-4-methylsulfinylbenzoyl)-5-(1-methylcyclopropyl)isoxazole

18. 5-Cyclopropyl-4-(2,3-dimethyl-4-methylsulfonylbenzoyl)isoxazole
19. 4-(2-Bromo-3-difluoromethoxy-4-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
- 5 20. 4-(2-Bromo-3-methoxy-4-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
21. 4-(2-Bromo-3-methoxy-4-methylsulfonylbenzoyl)-5-(1-methylcyclopropyl)isoxazole
22. 4-(2-Bromo-3-methoxy-4-methylsulfonylbenzoyl)-5-methylisoxazole
- 10 23. 4-(2-Bromo-4-methylthiobenzoyl)-5-cyclopropylisoxazole
24. 4-(2-Chloro-3-cyano-4-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
- 15 25. 4-(2-Chloro-4-methylthio-3-(isopropoxycarbonyl)benzoyl)-5-cyclopropylisoxazole
26. 4-(2-Chloro-3-ethoxy-4-ethylsulfonylbenzoyl)-5-cyclopropylisoxazole
27. 4-(2-Chloro-3-ethoxy-4-methylsulfonylbenzoyl)-5-methylisoxazole
- 20 28. 4-(2-Chloro-3-methoxy-4-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
29. 4-(2-Chloro-3-methoxy-4-methylsulfonylbenzoyl)-5-(1-methylcyclopropyl)isoxazole
- 25 30. 4-(2-Chloro-3-methoxy-4-methylsulfonylbenzoyl)-5-methylisoxazole
31. 4-(2-Chloro-4-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
32. 4-(2-Chloro-4-methylsulfonylbenzoyl)-5-isopropylisoxazole
- 30 33. 5-Cyclopropyl-4-(2-ethyl-4-methylsulfinylbenzoyl)isoxazole
34. 5-Cyclopropyl-4-(2-ethyl-4-methylsulfonylbenzoyl)isoxazole
- 35 35. 5-Cyclopropyl-4-(3-chloro-2-methyl-4-methylthiobenzoyl)isoxazole
36. 5-Cyclopropyl-4-(3-chloro-2-methyl-4-

- methysulfonylbenzoyl)isoxazole
37. 5-Cyclopropyl-4-(3-fluoro-2-methyl-4-methysulfonylbenzoyl)isoxazole
38. 5-Cyclopropyl-4-(2-methyl-4-methylthiobenzoyl)isoxazole
39. 5-Cyclopropyl-4-(2-methyl-4-methylsulfonylbenzoyl)isoxazole
40. 5-(1-Methylcyclopropyl)-4-(2-methyl-4-methylsulfonylbenzoyl)isoxazole
41. 5-Cyclopropyl-4-(4-methylthio-2-(2,2,2-trifluoroethoxy)benzoyl)isoxazole
42. 5-Cyclopropyl-4-(2-ethoxy-4-methylsulfonylbenzoyl)isoxazole
43. 5-Cyclopropyl-4-(2-methoxy-4-methylsulfonylbenzoyl)isoxazole
44. 5-Cyclopropyl-4-(4-methyl-3-methylsulfinylbenzoyl)isoxazole
45. 4-(4-Chloro-3-methylthiobenzoyl)-5-cyclopropylisoxazole
46. 5-Cyclopropyl-4-(4-methyl-3-methylthiobenzoyl)isoxazole
47. 4-(4-Chloro-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
48. 4-(4-Chloro-2-methylsulfonylbenzoyl)-5-(1-methyl)cyclopropylisoxazole
49. 4-(4-Chloro-2-methylsulfonylbenzoyl)-5-isopropylisoxazole
50. 5-Cyclopropyl-4-(5-methoxy-2-methylsulfonylbenzoyl)isoxazole
51. 5-Cyclopropyl-4-(4-fluoro-2-methylsulfonylbenzoyl)isoxazole
52. 5-Cyclopropyl-4-(4-methyl-2-methylsulfonylbenzoyl)isoxazole
53. 5-Cyclopropyl-4-(4-methoxy-2-methylsulfonylbenzoyl)isoxazole
54. 4-(4-Chloro-2-methylsulfonylbenzoyl)-5-cyclopropyl-3-(ethoxycarbonyl)isoxazole

55. 5-Cyclopropyl-4-(3,4-difluoro-2-methylsulfonylbenzoyl)isoxazole
56. 5-Cyclopropyl-3-ethoxycarbonyl-4-(2-methylthio-4-trifluoromethylbenzoyl)isoxazole
- 5 57. 4-(4-Chloro-2-methylthiobenzoyl)-5-cyclopropylisoxazole
58. 4-(4-Chloro-2-methylthiobenzoyl)-5-isopropylisoxazole
59. 4-(4-Chloro-2-ethylsulfonylbenzoyl)-5-(1-methyl)cyclopropylisoxazole
- 10 60. 4-(4-Chloro-2-methylsulfonylbenzoyl)-5-ethylisoxazole
61. 4-(4-Chloro-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
62. 4-(2-Methylsulfonyl-4-trifluoromethylbenzoyl)-5-isopropylisoxazole
- 15 63. 4-(4-Chloro-2-methylsulfinylbenzoyl)-5-isopropylisoxazole
64. 5-Cyclopropyl-4-(3,4-dichloro-2-methylthiobenzoyl)isoxazole
65. 4-(4-Chloro-2-methylsulfonylbenzoyl)-5-cyclopropyl-3-(methoxycarbonyl)isoxazole
- 20 66. 5-Cyclopropyl-4-(3,4-dichloro-2-methylsulfonylbenzoyl)isoxazole
67. 4-(4-Chloro-2-methylthiobenzoyl)-5-cyclopropyl-3-(methoxycarbonyl)isoxazole
- 25 68. 4-(4-Chloro-2-methylsulfinylbenzoyl)-5-cyclopropyl-3-(methoxycarbonyl)isoxazole
69. 4-(4-Bromo-2-methylthio-3-methoxybenzoyl)-5-cyclopropylisoxazole
70. 4-(4-Bromo-2-methylsulfonyl-3-methoxybenzoyl)-5-cyclopropylisoxazole
- 30 71. 4-(4-Bromo-2-methylsulfonylbenzoyl)-5-(1-methyl)cyclopropylisoxazole
72. 4-(4-Bromo-2-methylsulfinyl-3-methoxybenzoyl)-5-cyclopropylisoxazole
- 35 73. 4-(4-Chloro-2-methylthio-3-(isopropoxycarbonyl)benzoyl)-5-cyclopropylisoxazole
74. 4-(4-Chloro-3-cyano-2-methylthiobenzoyl)-5-

- cyclopropylisoxazole
75. 4-(4-Chloro-2-methylsulfonylbenzoyl)-3-(isopropoxycarbonyl)-5-cyclopropylisoxazole
- 5 76. 4-(4-Chloro-2-methylsulfinylbenzoyl)-3-(isopropoxycarbonyl)-5-cyclopropylisoxazole
77. 4-(4-Bromo-3-cyano-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
78. 4-(4-Chloro-3-cyano-2-methylbenzoyl)-5-cyclopropylisoxazole
- 10 79. 5-Cyclopropyl-4-(2-methylthio-3-nitrobenzoyl)isoxazole
80. 4-(4-Chloro-3-cyano-2-methylthiobenzoyl)-5-cyclopropylisoxazole
81. 4-(4-Chloro-3-cyano-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
- 15 82. 4-(3-(2-Methoxy)ethoxy-2-methylthiobenzoyl)-5-cyclopropylisoxazole
83. 4-(3-Cyano-2-methylthio-4-trifluoromethylbenzoyl)-5-cyclopropylisoxazole
84. 4-(4-Chloro-2-methylthio-3-trifluoromethylbenzoyl)-5-cyclopropylisoxazole
- 20 85. 4-(4-Chloro-3-(methoxycarbonyl)-2-methylthiobenzoyl)-5-cyclopropylisoxazole
86. 4-(2,3-Bisdimethylthio-4-chlorobenzoyl)-5-cyclopropylisoxazole
- 25 87. 4-(3-Chloro-2-methylsulfonyl-4-trifluoromethylbenzoyl)-5-cyclopropylisoxazole
88. 5-Cyclopropyl-4-(2-propylthio-4-trifluoromethylbenzoyl)isoxazole
89. 4-(4-Chloro-3-(1-methyl)ethenyl-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
- 30 90. 4-(4-Bromo-2-methylsulfonyl-3-methylthiobenzoyl)-5-cyclopropylisoxazole
91. 4-(4-Bromo-3-methoxy-2-methylthiobenzoyl)-5-cyclopropylisoxazole
- 35 92. 4-(3-Bromo-4-chloro-2-methylthiobenzoyl)-5-cyclopropylisoxazole
93. 4-(3-Bromo-4-chloro-2-methylsulfinylbenzoyl)-5-

- cyclopropylisoxazole
94. 4-(3-Bromo-4-chloro-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
- 5 95. 4-(3-Cyano-4-methoxy-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
96. 4-(4-Chloro-3-difluoromethyl-2-methylthiobenzoyl)-5-cyclopropylisoxazole
97. 4-(3-Chloro-4-fluoro-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
- 10 98. 4-(4-Chloro-2-methylsulfonyl-3-propargyloxybenzoyl)-5-cyclopropylisoxazole
99. 4-(3-Acetyl-4-chloro-2-methylthiobenzoyl)-5-cyclopropylisoxazole
- 15 100. 4-(3-Bromo-4-chloro-2-methylthiobenzoyl)-5-cyclopropyl-3-ethoxycarbonylisoxazole
101. 5-Cyclopropyl-4-(3-bromo-4-chloro-2-methylthiobenzoyl)isoxazole
102. 4-(4-Chloro-2-methylsulfonyl-3-phenoxybenzoyl)-5-cyclopropylisoxazole
- 20 103. 4-(4-Chloro-3-ethoxy-2-methylsulfonylbenzoyl)-5-cyclopropyl-3-ethoxycarbonylisoxazole
104. 4-(4-Bromo-3-chloro-2-methylthiobenzoyl)-5-cyclopropyl-3-ethoxycarbonylisoxazole
- 25 105. 5-Cyclopropyl-4-(3,4-dibromo-2-methylthiobenzoyl)-3-ethoxycarbonylisoxazole
106. 4-(4-Chloro-3-propyloxy-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
107. 4-(4-Chloro-3-isopropyloxy-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
- 30 108. 4-(4-Chloro-3-ethylthio-2-methylthiobenzoyl)-5-cyclopropylisoxazole
109. 5-Cyclopropyl-4-(3,4-dichloro-2-(2,2,2-trifluoroethylthio)benzoyl)isoxazole
110. 5-Cyclopropyl-4-(3,4-dichloro-2-propylthiobenzoyl)isoxazole
- 35 111. 5-Cyclopropyl-4-(2-methylthio-4-(2,2,2-trifluoroethoxy)benzoyl)isoxazole

112. 5-Cyclopropyl-4-(2-methylsulfinyl-4-(2,2,2-trifluoroethoxy)benzoyl)isoxazole
113. 5-Cyclopropyl-4-(4-difluoromethoxy-2-methylthiobenzoyl)isoxazole
- 5 114. 5-Cyclopropyl-4-(3-ethoxy-4-methyl-2-methylsulfonylbenzoyl)isoxazole
115. 5-Cyclopropyl-4-(3-ethoxy-4-methyl-2-methylsulfinylbenzoyl)isoxazole
- 10 116. 4-(3-Chloro-2-methylsulfonyl-4-trifluoromethoxybenzoyl)-5-cyclopropylisoxazole
117. 4-(3-Chloro-2-methylsulfinyl-4-trifluoromethoxybenzoyl)-5-cyclopropylisoxazole
118. 5-Cyclopropyl-4-(3-ethoxy-4-methoxy-2-methylthiobenzoyl)isoxazole
- 15 119. 5-Cyclopropyl-4-(4-difluoromethoxy-2-methylsulfonylbenzoyl)isoxazole
120. 5-Cyclopropyl-4-(4-iodo-2-methylthiobenzoyl)isoxazole
121. 5-Cyclopropyl-4-(4-(1,1,2-trifluoro-2-chloroethoxy)-2-methylthiobenzoyl)isoxazole
- 20 122. 5-Cyclopropyl-4-(4-(1,1,2-trifluoro-2-chloroethoxy)-2-methylsulfonylbenzoyl)isoxazole
123. 5-Cyclopropyl-4-(2-methylthio-4-methylthiomethylbenzoyl)isoxazole
- 25 124. 4-(4-Chloro-3-(1-methyl-2,2,2-trifluoroethoxy)-2-methylsulfonylbenzoyl)isoxazole
125. 4-(4-Chloro-2-methylthio-3-methylthiomethylbenzoyl)-5-cyclopropylisoxazole
126. 5-Cyclopropyl-4-(2-methylthio-4-(1,1,2,2-tetrafluoroethoxy)benzoyl)isoxazole
- 30 127. 4-(3-Chloro-4-difluoromethoxy-2-methylthiobenzoyl)-5-cyclopropylisoxazole
128. 5-Cyclopropyl-4-(2-methylsulfonyl-4-(1,1,2,2-tetrafluoroethoxy)benzoyl)isoxazole
- 35 129. 5-Cyclopropyl-4-(4-(2,2-dichloro-1,1-difluoroethoxy)-2-methylthiobenzoyl)isoxazole
130. 4-(2,4-Bismethylthio-3-methoxybenzoyl)-5-cyclopropylisoxazole

131. 4-(2-Allylthio-3,4-dichlorobenzoyl)-5-cyclopropylisoxazole
132. 4-(3-Chloro-4-difluoromethoxy-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
- 5 133. 4-(3-Bromo-4-chloro-2-isopropylthiobenzoyl)-5-cyclopropylisoxazole
134. 4-(4-Bromo-2-methylthiobenzoyl)-5-cyclopropylisoxazole
135. 5-Cyclopropyl-4-(3,4-dichloro-2-methylthiobenzoyl)-3-isopropyl(carbonyl)isoxazole
- 10 136. 4-(4-Bromo-2-methylsulfonyl-3-ethoxybenzoyl)-5-cyclopropylisoxazole
137. 5-Cyclopropyl-4-(2-methylthio-4-(2,2,2-trifluoroethoxy)methylbenzoyl)isoxazole
- 15 138. 5-Cyclopropyl-4-(3,4-dichloro-2-(2-fluoroethyl)thiobenzoyl)isoxazole
139. 4-(3-Bromo-4-chloro-2-propylthiobenzoyl)-5-cyclopropylisoxazole
140. 4-(3-Bromo-4-chloro-2-propylsulfinylbenzoyl)-5-cyclopropylisoxazole
- 20 141. 4-(3-Bromo-4-chloro-2-propylsulfonylbenzoyl)-5-cyclopropylisoxazole
142. 5-Cyclopropyl-4-(3,4-dichloro-2-(2-fluoroethyl)sulfinylbenzoyl)isoxazole
- 25 143. 5-Cyclopropyl-4-(3,4-dichloro-2-(2-fluoroethyl)sulfonylbenzoyl)isoxazole
144. 5-Cyclopropyl-4-(4-fluoro-2-methylthiobenzoyl)isoxazole
145. 5-Cyclopropyl-4-(4-iodo-2-methylsulfinylbenzoyl)isoxazole
- 30 146. 5-Cyclopropyl-4-(4-fluoro-2-methylsulfinylbenzoyl)isoxazole
147. 4-(3-Bromo-4-chloro-2-isopropylthiobenzoyl)-5-cyclopropylisoxazole
- 35 148. 4-(3-Bromo-4-chloro-2-isopropylsulfinylbenzoyl)-5-cyclopropylisoxazole
149. 5-Cyclopropyl-4-(2-(2-cyclopropyl)methylthio-3,4-

dichlorobenzoyl)isoxazole

150. 4-(4-Chloro-3-isopropylthio-2-methylthiobenzoyl)-5-cyclopropylisoxazole

5 151. 5-Cyclopropyl-3-ethoxycarbonyl-4-(4-iodo-2-methylthiobenzoyl)isoxazole

152. 3-Benzoyloxycarbonyl-5-cyclopropyl-4-(3,4-dichloro-2-methylthiobenzoyl)isoxazole

153. 5-Cyclopropyl-4-(2-(2-cyclopropyl)methylsulfinyl-3,4-dichlorobenzoyl)isoxazole

10 154. 5-Cyclopropyl-4-(3,4-dichloro-2-methylthiobenzoyl)3-hexyloxycarbonylisoxazole

155. 4-(4-Bromo-2-methylsulfonyl-3-(2,2,2-trifluoroethoxy)benzoyl)-5-cyclopropylisoxazole

15 156. 5-Cyclopropyl-4-(3,4-dichloro-2-methylthiobenzoyl)3-dodecyloxy(carbonyl)isoxazole

157. 5-Cyclopropyl-4-(3,4-dichloro-2-methylthiobenzoyl)3-(2-hydroxyethoxy(carbonyl))isoxazole

158. 4-(3-Chloro-4-fluoromethyl-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole

20 159. 4-(3-Bromo-4-fluoro-2-methylthiobenzoyl)-5-cyclopropylisoxazole

160. 4-(3-Chloro-4-methoxymethyl-2-methylthiobenzoyl)-5-cyclopropylisoxazole

25 161. 4-(4-Chloro-2-methylsulfonyl-3-(2,2,3,3,3-pentafluoropropoxy)benzoyl)-5-cyclopropylisoxazole

162. 4-(3-Bromo-4-fluoro-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole

163. 4-(3-Bromo-4-fluoro-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole

30 164. 4-(4-Bromo-3-ethoxy-2-methylthiobenzoyl)-5-cyclopropylisoxazole

165. 4-(4-Bromo-3-ethoxy-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole

35 166. 4-(3-Bromo-4-iodo-2-methylthiobenzoyl)-5-cyclopropylisoxazole

167. 4-(3-Bromo-4-iodo-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole

168. 4-(3-Bromo-4-iodo-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
169. 5-Cyclopropyl-3-ethoxycarbonyl-4-(ethylthiobenzoyl)isoxazole
- 5 170. 4-(4-Chloro-3-(2,2-difluoroethoxy)-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
171. 4-(4-Chloro-3-(2-fluoroethoxy)-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
172. 4-(4-Bromo-2-methylthio-3-propyloxybenzoyl)-5-cyclopropylisoxazole
- 10 173. 5-Cyclopropyl-4-(ethylthiobenzoyl)-3-methoxycarbonylisoxazole
174. 5-Cyclopropyl-3-ethoxycarbonyl-4-(4-iodo-2-methylsulfinylbenzoyl)isoxazole
- 15 175. 4-(4-Chloro-3-butylthio-2-methylthiobenzoyl)-5-cyclopropylisoxazole
176. 4-(3-Bromo-4-fluoro-2-methylthiobenzoyl)-5-cyclopropyl-3-ethoxy(carbonyl)isoxazole
- 20 177. 4-(3-Bromo-4-fluoro-2-methylsulfinylbenzoyl)-5-cyclopropyl-3-ethoxy(carbonyl)isoxazole
178. 4-(3-Bromo-4-fluoro-2-methylsulfonylbenzoyl)-5-cyclopropyl-3-ethoxy(carbonyl)isoxazole
179. 5-Cyclopropyl-4-(4-fluoro-2-methylsulfonylbenzoyl)-3-methoxy(carbonyl)isoxazole
- 25 180. 5-Cyclopropyl-3-ethoxycarbonyl-4-(4-fluoro-2-methylthiobenzoyl)isoxazole
181. 5-Cyclopropyl-4-(4-fluoro-2-methylthiobenzoyl)-3-(methoxycarbonyl)isoxazole
- 30 182. 4-(4-Bromo-2-methylthiobenzoyl)-5-cyclopropyl-3-ethoxy(carbonyl)isoxazole
183. 4-(4-Bromo-2-methylsulfinylbenzoyl)-5-cyclopropyl-3-ethoxy(carbonyl)isoxazole
184. 4-(4-Chloro-2-ethylthio-3-methylthiobenzoyl)-5-cyclopropylisoxazole
- 35 185. 5-Cyclopropyl-4-(4-fluoro-2-methylsulfinylbenzoyl)-3-(methoxycarbonyl)isoxazole
186. 5-Cyclopropyl-4-(2-methylsulfinylbenzoyl)-3-

(methoxycarbonyl)isoxazole

187. 5-Cyclopropyl-3-(ethoxycarbonyl)-4-(4-fluoro-2-methylsulfonylbenzoyl)isoxazole

188. 5-Cyclopropyl-3-(ethoxycarbonyl)-4-(4-fluoro-2-methylsulfinylbenzoyl)isoxazole

189. 5-Cyclopropyl-4-(4-fluoro-3-methoxy-2-methylsulfinylbenzoyl)-3-methoxy(carbonyl)isoxazole

190. 5-Cyclopropyl-4-(4-fluoro-3-methoxy-2-methylsulfinylbenzoyl)isoxazole

191. 4-(4-Bromo-2-methylthiobenzoyl)-5-cyclopropyl-3-methoxycarbonylisoxazole

192. 4-(4-Bromo-2-methylsulfinylbenzoyl)-5-cyclopropyl-3-methoxycarbonylisoxazole

193. 5-Cyclopropyl-4-(4-iodo-2-methylthiobenzoyl)-3-methoxy(carbonyl)isoxazole

194. 5-Cyclopropyl-4-(4-iodo-2-methylsulfinylbenzoyl)-3-methoxy(carbonyl)isoxazole

195. 4-(4-Bromo-2-methylthio-3-propyloxybenzoyl)-5-cyclopropylisoxazole

196. 4-(4-Bromo-3-ethylthio-2-methylthiobenzoyl)-5-cyclopropylisoxazole

197. 4-(4-Bromo-3-ethoxy-2-methylthiobenzoyl)-5-cyclopropyl-3-ethoxy(carbonyl)isoxazole

198. 5-Cyclopropyl-4-(4-fluoro-2-ethylthio-3-methoxybenzoyl)isoxazole

199. 5-Cyclopropyl-4-(2-ethylsulfonyl-4-fluoro-3-methoxybenzoyl)isoxazole

200. 4-(4-Bromo-3-difluoromethoxy-2-methylthiobenzoyl)-5-cyclopropylisoxazole

201. 4-(4-Bromo-3-difluoromethoxy-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole

202. 4-(4-Bromo-3-difluoromethoxy-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole

203. 4-(4-Bromo-3-isopropoxy-2-methylthiobenzoyl)-5-cyclopropylisoxazole

204. 4-(4-Bromo-3-difluoromethoxy-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole

205. 5-Cyclopropyl-4-(4-fluoro-3-(2,2-difluoroethoxy)-2-methylthiobenzoyl)isoxazole
206. 4-(4-Bromo-3-ethoxy-2-methylsulfonylbenzoyl)-5-cyclopropyl-3-ethoxy(carbonyl)isoxazole
- 5 207. 5-Cyclopropyl-4-(4-fluoro-2-methylthio-3-(2-fluoro)ethoxybenzoyl)isoxazole
208. 5-Cyclopropyl-3-ethoxy(carbonyl)-4-(4-fluoro-2-ethylthio-3-methoxybenzoyl)isoxazole
209. 5-Cyclopropyl-4-(3-difluoromethoxy-2-methylthio-4-methoxybenzoyl)isoxazole
- 10 210. 5-Cyclopropyl-4-(4-difluoromethoxy-2-methylthio-3-methoxybenzoyl)isoxazole
211. 5-Cyclopropyl-4-(4-difluoromethoxy-2-methylsulfonyl-3-methoxybenzoyl)isoxazole
- 15 212. 5-Cyclopropyl-4-(4-difluoromethoxy-2-methylsulfonyl-3-methoxybenzoyl)isoxazole
213. 5-Cyclopropyl-3-ethoxycarbonyl-4-(2-ethylsulfonyl-4-fluoro-3-methoxybenzoyl)isoxazole
214. 5-Cyclopropyl-3-ethoxycarbonyl-4-(2-ethylsulfinyl-4-fluoro-3-methoxybenzoyl)isoxazole
- 20 215. 4-(2,3-Bis(methylthio)-4-fluorobenzoyl)-5-cyclopropylisoxazole
216. 4-(4-Chloro-3-iodo-2-methylthiobenzoyl)-5-cyclopropylisoxazole
- 25 217. 4-(4-Chloro-3-iodo-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
218. 4-(4-Bromo-2-methylthio-3-(2-fluoro)ethoxybenzoyl)-5-cyclopropylisoxazole
219. 5-Methyl-4-(2-methylthiobenzoyl)isoxazole
- 30 220. 4-(4-Bromo-2-methylsulfinyl-3-(2-fluoro)ethoxybenzoyl)-5-cyclopropylisoxazole
221. 4-(4-Chloro-3-iodo-2-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
222. 4-(4-Chloro-2-ethylthio-3-methylthiobenzoyl)-5-cyclopropyl-3-ethoxy(carbonyl)isoxazole
- 35 223. 5-Methyl-4-(2-methylsulfinylbenzoyl)isoxazole
224. 4-(4-Bromo-2-methylthio-3-(2,2,2-

- trifluoro)ethoxybenzoyl)-5-cyclopropylisoxazole
225. 5-(1-Fluoro)cyclopropyl-4-(2-methylthio-4-trifluoromethylbenzoyl)isoxazole
226. 4-(4-Bromo-2-methylthio-3-(2,2,2-trifluoro)ethoxybenzoyl)-5-cyclopropyl-3-ethoxyc(carbonyl)isoxazole
227. 4-(4-Bromo-2-methylsulfinyl-3-(2,2,2-trifluoro)ethoxybenzoyl)-5-cyclopropylisoxazole
228. 4-(4-Bromo-2-methylsulfonyl-3-(2,2,2-trifluoro)ethoxybenzoyl)-5-cyclopropyl-3-ethoxyc(carbonyl)isoxazole
229. 4-(4-Bromo-2-methylsulfinyl-3-(2,2,2-trifluoro)ethoxybenzoyl)-5-cyclopropyl-3-ethoxyc(carbonyl)isoxazole
230. 4-(4-Chloro-2-methylsulfonylbenzoyl)-5-(1-chloro)cyclopropylisoxazole
231. 4-(4-Bromo-3-(2,2-difluoroethoxy)-2-methylthiobenzoyl)-5-cyclopropylisoxazole
232. 4-(4-Bromo-3-(2,2-difluoroethoxy)-2-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
233. 5-Cyclopropyl-4-(3,4-dichloro-2-methylthiobenzoyl)-3-formylisoxazole
234. 4-(4-Fluoro-2-methylsulfonylbenzoyl)-5-methylisoxazole
235. 4-(4-Bromo-2-methylsulfonyl-3-(2,2,2-trifluoro)ethoxybenzoyl)-5-cyclopropylisoxazole
236. 4-(4-Bromo-3-(2,2-difluoro)ethoxy-2-methylthiobenzoyl)-5-cyclopropyl-3-ethoxy(carbonyl)isoxazole
237. 4-(4-Bromo-3-(2,2-difluoro)ethoxy-2-methylsulfonylbenzoyl)-5-cyclopropyl-3-ethoxy(carbonyl)isoxazole
238. 5-Cyclopropyl-4-(3,4-dichloro-2-(N-ethoxycarbonyl-N-methylamino)benzoyl)isoxazole
239. 5-(4-Chloro-2-(N-propylsulfonyl-N-methyl)aminobenzoyl)-4-(cyclopropylcarbonyl)isoxazole
240. 5-Cyclopropyl-4-(4-methylsulfinyl-2-(2,2,2-trifluoroethoxy)methylbenzoyl)isoxazole
241. 5-Cyclopropyl-4-(4-methylsulfonyl-2-(2,2,2-trifluoroethoxy)methylbenzoyl)isoxazole
242. 5-(4-Chloro-2-(N-(trifluoromethylsulfonyl-N-methyl)aminobenzoyl)-4-(cyclopropylcarbonyl)isoxazole

243. 5-Cyclopropyl-4-(3,4-dichloro-2-(N-isopropoxycarbonyl-N-methylamino)benzoyl)isoxazole
244. 4-(4-Chloro-2-methyl-3-methylthiobenzoyl)-5-cyclopropylisoxazole
- 5 245. 4-(3-Chloro-4-methylsulfinyl-2-(2,2,2-trifluoroethoxy)methylbenzoyl)-5-cyclopropylisoxazole
246. 4-(4-Bromo-3-methoxy-2-(N-methyl-N-methylsulfonylamino)benzoyl)-5-cyclopropylisoxazole
247. 4-(4-Chloro-2-methyl-3-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
- 10 248. 4-(4-Bromo-2-(N-methoxycarbonyl-N-methyl)aminobenzoyl)-5-cyclopropylisoxazole
249. 5-Cyclopropyl-4-(4-methylsulfonyl-3-methylthio-2-(2,2,2-trifluoroethoxy)methylbenzoyl)isoxazole
- 15 250. 4-(4-Chloro-2-(N-methoxycarbonyl-N-isobutyl)aminobenzoyl)-5-cyclopropylisoxazole
251. 4-(4-Chloro-2-methoxy-3-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
252. 4-(4-Chloro-2-methoxy-3-methylsulfinylbenzoyl)-5-cyclopropylisoxazole
- 20 253. 5-Cyclopropyl-4-(2-(N-ethyl-N-methoxycarbonyl)amino-4-methylsulfonylbenzoyl)isoxazole
254. 4-(4-Chloro-2-propoxy-3-methylthiobenzoyl)-5-cyclopropylisoxazole
- 25 255. 4-(4-Chloro-2-ethoxy-3-methylthiobenzoyl)-5-cyclopropylisoxazole
256. 4-(4-Chloro-2-ethoxy-3-methylsulfonylbenzoyl)-5-cyclopropylisoxazole
257. 5-Cyclopropyl-4-(2-(N-ethyl-N-methylsulfonyl)amino-4-trifluoromethylbenzoyl)isoxazole
- 30 258. 5-Cyclopropyl-4-(2-(N-ethyl-N-methoxycarbonyl)amino-4-fluorobenzoyl)isoxazole
259. 4-(4-Chloro-3-methylsulfinyl-2-propyloxybenzoyl)-5-cyclopropylisoxazole
- 35 260. 5-Cyclopropyl-4-(2-(N-ethyl-N-methoxycarbonyl)aminobenzoyl)isoxazole
261. 5-Cyclopropyl-4-(2-N-ethylamino-4-

trifluoromethylbenzoyl)isoxazole

262. 5-Cyclopropyl-4-(2-(N-ethyl-N-methoxycarbonyl)amino-4-trifluoromethylbenzoyl)isoxazole

5 263. 5-Cyclopropyl-4-(2-(N-ethoxycarbonyl-N-ethyl)amino-4-trifluoromethylbenzoyl)isoxazole

264. 5-Cyclopropyl-3-ethoxycarbonyl-4-(2-ethylthiobenzoyl)isoxazole

265. 5-Cyclopropyl-4-(3,4-dichloro-2-(N-ethyl-N-ethoxycarbonyl)aminobenzoyl)isoxazole

10 266. 5-Cyclopropyl-4-(3,4-dichloro-2-(N-ethyl-N-propoxycarbonyl)aminobenzoyl)isoxazole

267. 5-Cyclopropyl-4-(3,4-dichloro-2-(N-ethyl-N-isopropoxycarbonyl)aminobenzoyl)isoxazole

15 268. 5-Cyclopropyl-4-(3,4-dichloro-2-(N-butyloxycarbonyl-N-ethyl)aminobenzoyl)isoxazole

269. 5-Cyclopropyl-4-(2-(N-ethyl-N-isopropoxycarbonyl)amino-4-trifluoromethylbenzoyl)isoxazole

270. 5-Cyclopropyl-4-(3,4-dichloro-2-(N-ethyl-N-methoxycarbonyl)aminobenzoyl)isoxazole

20 271. 5-Cyclopropyl-4-(3,4-dichloro-2-(N-allyl-N-methoxycarbonyl)aminobenzoyl)isoxazole

272. 4-(4-Chloro-3-methylthio-2-(2,2,2-trifluoroethoxy)benzoyl)-5-cyclopropylisoxazole

25 273. 4-(4-Chloro-3-methylsulfinyl-2-(2,2,2-trifluoroethoxy)benzoyl)-5-cyclopropylisoxazole

274. 4-(4-Chloro-2-isopropoxy-3-methylsulfinylbenzoyl)-5-cyclopropylisoxazole

275. 4-(4-Chloro-3-chloromethylthio-2-ethoxybenzoyl)-5-cyclopropylisoxazole

30 276. 4-(4-Chloro-3-chloromethylsulfonyl-2-ethoxybenzoyl)-5-cyclopropylisoxazole

277. 4-(2-Bromo-4-ethylthiobenzoyl)-5-cyclopropylisoxazole

278. 5-Cyclopropyl-4-(3,4-dichloro-2-(N-ethyl-N-methoxycarbonyl)aminobenzoyl)-3-ethoxy(carbonyl)isoxazole

35 279. 4-(3,4-dichloro-2-(N-ethyl-N-methoxycarbonyl)aminobenzoyl)-5-isopropylisoxazole

280. 4-(4-Chloro-3-chloromethylsulfinyl-2-ethoxybenzoyl)-5-

cyclopropylisoxazole

281. 4-(3,4-difluoro-2-(N-ethyl-N-methoxycarbonyl)aminobenzoyl)-5-cyclopropylisoxazole

282. 4-(2-Bromo-4-ethylsulfinylbenzoyl)-5-cyclopropylisoxazole

283. 4-(4-Bromo-2-methoxy-3-methylsulfonylbenzoyl)-5-cyclopropylisoxazole

284. 4-(4-Chloro-2-isopropyl-3-methylthiobenzoyl)-5-cyclopropylisoxazole

285. 4-(4-Bromo-3-ethoxy-2-(N-ethyl-N-methoxycarbonylamino)benzoyl)-5-cyclopropylisoxazole

286. 4-(4-Fluoro-2-methyl-3-methylthiobenzoyl)-5-cyclopropylisoxazole

287. 4-(4-Chloro-2-(N-ethyl-N-methylsulfonyl)amino-3-fluorobenzoyl)-5-cyclopropylisoxazole

288. 4-(4-Chloro-2-(N-ethyl-N-methoxycarbonyl)amino-3-fluorobenzoyl)-5-cyclopropylisoxazole

289. 4-(4-Bromo-2-(N-ethyl-N-methoxycarbonyl)amino-3-methoxybenzoyl)-5-cyclopropylisoxazole

290. 5-Cyclopropyl-4-(2-methyl-3-methylthiobenzoyl)isoxazole 291. 5-Cyclopropyl-4-(2-methyl-3-methylsulfinylbenzoyl)isoxazole

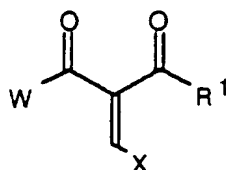
292. 5-Cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)isoxazole.

The numbers 1 to 292 are assigned to these compounds for reference and identification hereafter

Processes for Preparation

Compounds of formula I are known or may be prepared using known methods, for example as described in European Patent Publication numbers 0418177, 0487357 and 0524018. For example compounds of formula I in which R represents hydrogen may be prepared by the reaction of a compound of formula II:

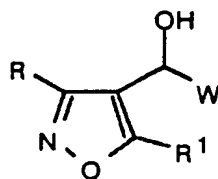
- 22 -



(II)

wherein R^1 and W are as defined above and X represents alkoxy (e.g. ethoxy) or N,N-dialkylamino (e.g. N,N-dimethylamino), with hydroxylamine or a salt thereof (such as hydroxylamine hydrochloride). The reaction is generally carried out in a solvent such as ethanol or acetonitrile, optionally in the presence of a base or acid acceptor such as triethylamine or sodium acetate.

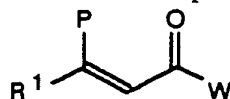
Compounds of formula I in which A is $C(O)W$ and B is R^1 may also be prepared by the oxidation of compounds of formula (III)



(III)

wherein R, R^1 and W are as defined above, to convert the hydroxy group to a ketone group. The reaction is generally performed for example using a mixture prepared from chromium trioxide and aqueous sulphuric acid.

Compounds of formula I in which R represents $-CO_2R^6$ may be prepared by the reaction of a compound of formula IV



(IV)

wherein W and R^1 are as defined above and P is a leaving group such as N,N-dialkyl or -S-alkyl, with a compound of general formula $R^6O_2C-C(X)=N-OH$ wherein X and R^6 are as defined above. Generally X is chlorine or bromine. The reaction is generally performed in an inert solvent such as toluene or dichloromethane either in the presence of a base such as triethylamine or a catalyst such as a 4A molecular sieve or fluoride ion.

Compound of formulae II, III and IV are known or may be

- 23 -

prepared by the application of known methods, such as those described in European Patent Publication numbers 0418175, 0487357, 0524018, or PCT Application No. PCT/EP94-04051.

5 According to a feature of the present invention there is provided a method of control of pests at a locus which comprises the treatment of the locus (e.g., by application or administration) with an effective amount of a compound of formula I, wherein the
10 substituent groups are as hereinbefore defined. The locus includes, for example, the pest itself or the place (plant, animal, person, field, structure, premises, forest, orchard, waterway, soil, plant or animal product, or the like) where the pest resides or feeds.

 The compounds of this invention are useful in the control via foliar application or systemic action of some arthropods, especially
15 some insects, which feed on the above ground portions of plants. Control of foliar pests may additionally be provided by application to the plant roots or plant seeds with subsequent systemic translocation to the above ground portions of the plants.

 The compounds of this invention may be useful to control soil
20 insects, such as corn rootworm, termites (especially for protection of structures), root maggots, wireworms, root weevils, stalkborers, cutworms, root aphids, or grubs. They may also be used to provide activity against plant pathogenic nematodes, such as root-knot, cyst, dagger, lesion, or stem or bulb nematodes, or against mites. For the
25 control of soil pests, for example corn rootworm, the compounds are advantageously applied to or incorporated at an effective rate into the soil in which crops are planted or to be planted or to the seeds or growing plant roots.

 In the area of public health, the compounds are especially
30 useful in the control of many insects, especially filth flies or other Dipteran pests, such as houseflies, stableflies, soldierflies, hornflies, deerflies, horseflies, midges, punkies, blackflies, or mosquitoes.

 Compounds of the invention may be used in the following applications and on the following pests including arthropods,
35 especially insects or mites, nematodes, or helminth or protozoan

pests:

The invention, as previously described, provides methods of control of pests via application or administration of an effective amount of compounds of formula I at a locus which comprises treatment of the locus.

In practical use for the control of arthropods, especially insects or mites, or nematode pests of plants, a method, for example, comprises applying to the plants or to the medium in which they grow an effective amount of a compound of the invention. For such a method, the active compound is generally applied to the locus in which the arthropod or nematode infestation is to be controlled at an effective rate in the range of about 0.005 kg to about 15 kg of the active compound per hectare of locus treated. Under ideal conditions, depending on the pest to be controlled, a lower rate may offer adequate protection. On the other hand, adverse weather conditions, resistance of the pest or other factors may require that the active ingredient be used at higher rates. The optimum rate depends usually upon a number of factors, for example, the type of pest being controlled, the type or the growth stage of the infested plant, the row spacing or also the method of application. More preferably an effective rate range of the active compound is from about 0.01 kg/ha to about 2 kg/ha.

When a pest is soil-borne, the active compound generally in a formulated composition, is distributed evenly over the area to be treated (i.e., for example broadcast or band treatment) in any convenient manner. Application may be made, if desired, to the field or crop-growing area generally or in close proximity to the seed or plant to be protected from attack. The active component can be washed into the soil by spraying with water over the area or can be left to the natural action of rainfall. During or after application, the formulated compound can, if desired, be distributed mechanically in the soil, for example by ploughing, disking, or use of drag chains. Application can be prior to planting, at planting, after planting but before sprouting has taken place, or after sprouting. Additionally, a method of control may also comprise treatment of the seed prior to planting with subsequent control effected after planting the seed.

Methods of control of pests also consist of application to or

- 25 -

5 treatment of the foliage of plants to control arthropods, especially insects or mites, or nematodes attacking the aerial parts of the plants. In addition, methods of control of pests by the invention compounds are provided to control pests which feed on parts of the plant remote from the point of application, e.g., leaf feeding insects which are controlled via systemic action of the active compound when applied for example to the roots of a plant or to the plant seed prior to planting. Furthermore, the compounds of the invention may reduce attacks on a plant by means of antifeeding or repellent effects.

10 The compounds of the invention and methods of control of pests therewith are of particular value in the protection of field, forage, plantation, glasshouse, orchard or vineyard crops, of ornamentals, or of plantation or forest trees, for example: cereals (such as maize, wheat, rice, or sorghum), cotton, tobacco, vegetables (such as beans, cole crops, cucurbits, lettuce, onions, tomatoes or peppers), field crops (such as potatoes, sugar beets, ground nuts, soybeans, or oil seed rape), sugar cane, grassland or forage crops (such as maize, sorghum, or lucerne), plantations (such as tea, coffee, cocoa, banana, palm oil, coconut, rubber, or spices), orchards or groves (such as of stone or pit fruit, citrus, kiwifruit, avocado, mango, olives or walnuts), vineyards, ornamental plants, flowers or vegetables or shrubs under glass or in gardens or parks, or forest trees (both deciduous and evergreen) in forests, plantations or nurseries.

25 They are also valuable in the protection of timber (standing, felled, converted, stored or structural) from attack, for example, by sawflies or beetles or termites.

30 They have applications in the protection of stored products such as grains, fruits, nuts, spices or tobacco, whether whole, milled or compounded into products, from moth, beetle, mite or grain weevil attack. Also protected are stored animal products such as skins, hair, wool or feathers in natural or converted form (e.g. as carpets or textiles) from moth or beetle attack as well as stored meat, fish or grains from beetle, mite or fly attack.

35 Additionally, the compounds of the invention and methods of use thereof are of particular value in the control of arthropods,

- 26 -

helminths or protozoa which are injurious to, or spread or act as vectors of diseases in man and domestic animals, for example those hereinbefore mentioned, and more especially in the control of ticks, mites, lice, fleas, midges, or biting, nuisance or myiasis flies. The compounds of the invention are particularly useful in controlling arthropods, helminths or protozoa which are present inside domestic host animals or which feed in or on the skin or suck the blood of the animal, for which purpose they may be administered orally, parenterally, percutaneously or topically.

METHOD OF USE OF INSECTICIDAL COMPOUNDS

The following representative test procedures, using compounds of the invention, were conducted to determine the pesticidal use and activity of compounds of the invention against: mites; certain insects, including aphids, a caterpillar, a fly, a beetle larvae, a cockroach, a corn rootworm, a cutworm; and a nematode. The specific species tested were as follows:

<u>GENUS. SPECIES</u>	<u>COMMON NAME</u>
<u>Tetranychus urticae</u>	twospotted spider mite
<u>Aphis nasturtii</u>	buckthorn aphid
<u>Aphis gossypii</u>	cotton aphid
<u>Spodoptera eridania</u>	southern armyworm
<u>Musca domestica</u>	house fly
<u>Epilachna varivestis</u>	Mexican bean beetle
<u>Periplaneta americana</u>	American cockroach
<u>Diabrotica undecimpunctata</u>	southern corn rootworm
<u>Agrotis ipsilon</u>	black cutworm
<u>Meloidogyne incognita</u>	southern root-knot nematode

Formulations:

The test compounds were formulated for use according to the

following methods.

For mite, aphids, southern armyworm, Mexican bean beetle, southern corn rootworm, black cutworm, a solution or suspension was prepared by adding the test compound to a solution of dimethylformamide, acetone, emulsifiers which are alkylaryl polyether alcohols organic sulfonates, and water. The result was a 500 ppm concentration of test compound.

For house fly tests, the water-acetone-DMF-emulsifer solution containing test compound was adjusted with a 20% by weight aqueous solution of sucrose to provide a 250 or 500 ppm concentration of the test compound.

For cotton aphid - systemic tests and black cutworm - systemic tests, the water-acetone-DMF-emulsifer solution containing test compound was adjusted for a treatment rate of 10.0 ppm soil concentration.

For southern corn rootworm and black cutworm tests, the water-acetone-DMF-emulsifer solution containing test compound was adjusted for a treatment rate of 6.75 ppm.

For the southern root-knot nematode tests, the water-acetone-DMF-emulsifer solution containing test compound was adjusted for a treatment rate of 21 or 23 kg/ha soil concentration.

Test Procedures:

The above formulated test compounds were then evaluated for their pesticidal activity at specified concentrations, in ppm (parts per million) by weight or in kg/ha (kilograms per hectare). The following procedures were used to evaluate a number of compounds within the scope of the invention.

Twospotted spider mite: A sufficient number of two-spotted spider mites (150-200) for testing were transferred to the fresh bean leaves within a period of twenty-four hours. The infested leaves were wet to runoff with the 500 ppm test compound formulation. As an untreated control, the water-acetone-DMF-emulsifier solution containing no test compound was also applied wet to runoff to infested leaves. The treated leaves were held for three or six days, after which a mortality count of motile forms was made.

Twospotted spider mite (ovicide test): Females were allowed to oviposit on bean plants for a period of about 24 hours, after which the leaves of the plant were dipped into a solution of TEPP (tetraethyl pyrophosphate) in order to kill the motile forms and prevent additional egg laying. This dipping procedure did not affect the viability of the eggs. The infested leaves were wet to runoff with the 500 ppm test compound formulation. As an untreated control, a water-acetone-DMF-emulsifier solution containing no test compound was also wet to runoff on infested leaves. The treated leaves were held for seven days, after which a mortality count of egg forms was made along with notations on residual activity on hatched larvae.

Following the above test procedure, compounds 20, 28, 40, 74, 76, 78, 80, 109, 126, 131, 138, 159, 236, 254, 286 and 288 gave at least 50 % mortality against mites.

Buckthorn or cotton aphid: Adult and nymphal stages of buckthorn or cotton aphid were reared on potted dwarf nasturtium or cotton plants, respectively. Plants infested with 100-150 aphids were wet to runoff with the 500 ppm test compound formulation. As an untreated control, a water-acetone-DMF-emulsifier solution

containing no test compound was also applied wet to runoff to infested plants. The treated plants were stored for one day for buckthorn aphid and three days for cotton aphid, after which the dead aphids were counted.

5 **Cotton aphid - systemic test:** Cotton seeds were placed on top of the soil surface in pots containing moist soil. The test compound solution was applied as a drench to the top of the soil and seeds for a treatment rate equivalent to 10.0 ppm soil concentration. As an untreated control, an aliquot of a water-acetone-DMF-emulsifier
10 solution containing no test compound was applied in a similar manner. The soil surface and seeds were covered with moist soil. The pots were held in the greenhouse for the duration of the bioassay. When the cotyledons of the cotton were expanded (approximately 7 days after planting), the cotton was infested with
15 approximately 25 cotton aphids (mixed population). The plants were rated for aphid control three and six days after infestation.

Following the above test procedure, compounds 138, 207 and 219 gave at least 50% mortality against aphids.

20 **Southern armyworm, Mexican bean beetle:** Bean leaves were wet to runoff with the 500 ppm test compound formulation. As an untreated control, a water-acetone-DMF-emulsifier solution containing no test compound was also applied wet to runoff to bean leaves. Five or six randomly selected second instar southern armyworm larvae or Mexican bean beetle larvae were introduced
25 into each plastic container with the dry treated leaves. The container was closed and held for five days. Larvae which were unable to move the length of the body, even upon stimulation by prodding, were considered dead.

Following the above test procedure, compounds 1, 2, 4-13, 16, 18-31, 33-73, 75, 77-110, 113-142, 144, 147-176, 181, 182, 184, 188, 189, 191, 193, 194, 196-222, 225-230, 232, 233, 235-239, 242-244, 246-248, 254-276, 278-283, 285, and 278-289 gave at least 50 % mortality against southern armyworm and/or Mexican bean beetle.

House fly: Four to six day old adult house flies were used. The flies were immobilized by anesthetizing with carbon dioxide. A bait cup was prepared which contained the 250 or 500 ppm test compound formulation / sucrose solution and one or two absorbent cotton pad(s). As an untreated control, a water-acetone-DMF-emulsifier-sucrose solution containing no test compound was applied in a similar manner. The bait cup was introduced inside the cage prior to admitting 12 - 25 anesthetized flies. Mortality was assessed after 24 hours.

Following the above test procedure, compounds 53, 54, 143, 207, 218 and 261 gave at least 50% mortality against house flies.

Southern root-knot nematode: Eggs and second stage juveniles (J2s) of southern root-knot nematodes were obtained from infected roots of reared tomato plants. Pots containing moist soil were treated with the test compound solution for a treatment rate of 21 or 23 kg/ha. As an untreated control, an aliquot of a water-acetone-DMF-emulsifier solution containing no test compound was applied in a similar manner. Immediately after treatment, eggs or J2s of southern root-knot nematode were added to the treated soil. For tests with cotton, the seeds were placed on top of the seed the day of treatment and inoculation. For the tests with tomato, the seedling(s) were transplanted in the pot three days after treatment. The pots were kept in the greenhouse for 2-3 weeks. At the

- 31 -

termination of the test, roots of the tomato or cotton seedling were evaluated for galling on a rating scale from 1 to 5 with one equal to severe galling, relative to untreated control, and five to no galling, i.e., complete control.

5 Following the above test procedure, compounds 14-17, 32, 111, 112, 138, 145, 146, 151, 155, 170, 171, 194, 213, 230, 231, 234, 236, 240, 241, 245, 249, 257, 258, 277, 279, 284 and 288 gave at least 75% control against nematodes.

10 **Southern corn rootworm, Black cutworm:** Corn seeds were placed in a glass jar and covered with dry sandy loam soil. The 500-ppm test compound was applied for a soil concentration of 6.75 ppm. As an untreated control, an aliquot of a water-acetone-DMF-emulsifier solution containing no test compound was applied in a similar manner. After incubating covered for 24 hours, the soil was
15 mixed and inoculated with approximately 25 southern corn rootworm eggs. Following an additional 48 hours, two late second to early third instar black cutworms were placed in the jar with a portion of insect diet. Eight days after infestation, mortality was assessed visually for cutworm and by Berlese funnel extraction for
20 rootworm.

Black cutworm - systemic test: Corn seeds were placed on top of the soil surface in pots containing moist soil. The test compound solution was applied as a drench to the top of the soil and seeds for a treatment rate equivalent to 10.0 ppm soil concentration. As an
25 untreated control, an aliquot of a water-acetone-DMF-emulsifier solution containing no test compound was applied in a similar manner. The soil surface and seeds were covered with moist soil. The pots were held in the greenhouse for the duration of the

- 32 -

bioassay. Ten days after treatment, the corn seedling was clipped and placed in a plastic cup with 2 late second to early third instar black cutworm larvae. Mortality was assessed visually 4 days after infestation.

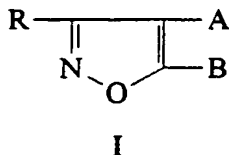
5 Following the above test procedure, compounds 173, 177-180, 183, 185-187, 190, 193, 195, 196, 206, 223, 224, 226, 259, 260, 262, 264, 266, 272, 274, 276, 277, 280 and 292 gave at least 50% mortality against southern corn rootworm and/or black cutworm.

10 **American cockroach:** Dog food pellets were added to jars containing 2-3 mls of the 500 ppm test formulation. As an untreated control, an aliquot of a water-acetone-DMF-emulsifier solution containing no test compound was applied in a similar manner. After 48 hours, roach nymphs were added to the jar. Contact and feeding mortality was assessed 1 and 5 days after infestation.

15 Following the above test procedure, compound 223, 226, 228, 290 and 291 gave at least 50% mortality against cockroach.

CLAIMS

1. A method for the control of pests at a locus which comprises treatment of the locus with an effective compound of formula I:



wherein:

A is C(O)W and B is R¹; or

A is C(O)R¹ and B is W; and

in which R is hydrogen or -CO₂R⁶;

R¹ is:-

straight- or branched- chain alkyl group having up to six carbon atoms;

cycloalkyl group having from three to six carbon atoms, optionally having a methyl group at its 1-position; or

phenyl optionally having from one to three substituents which may be the same or different selected from the group consisting of halogen; a straight- or branched- chain alkyl, or alkoxy group having up to three carbon atoms, optionally substituted by one or more halogen atoms; or cyano;

W represents:

phenyl having a group R² in its 2-position; R³ in its 3-position; R⁴ in its 4-position; and R⁵ in its 5-position;

R² is:-

hydrogen or halogen;

straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more halogen;

straight- or branched- chain alkyl up to four carbon atoms, substituted by -OR⁷;

a member selected from the group consisting of nitro, cyano, -OR¹⁰, -CO₂R⁹ and -O(CH₂)_pOR⁷, -S(O)_nR⁸, -OSO₂R⁸, -N(R¹¹)(R¹²);

R³ is:-

hydrogen or halogen;

straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more halogen;

5 straight- or branched- chain alkyl having up to four carbon atoms, substituted by -OR⁷;

straight- or branched- chain alkenyl having up to four carbon atoms; or

a member selected from the group consisting of cyano, -OR¹⁰, -S(O)_mR⁸, -OSO₂R⁸, -CO₂R⁹ and -O(CH₂)_pOR⁷, -N(R¹¹)(R¹²);

10 R⁴ is:-

hydrogen or halogen;

straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more halogen;

15 straight- or branched- chain alkyl up to four carbon atoms, substituted by -OR⁷;

or a member selected from the group consisting of nitro, cyano, -S(O)_mR⁸, -OSO₂R⁸, -CO₂R⁹, -OR¹⁰, -O(CH₂)_pOR⁷ and -N(R¹¹)(R¹²);

20 provided that at least one of R², R³ and R⁴ is selected from -OSO₂R⁸, -S(O)_mR⁸ or -N(R¹¹)(R¹²);

R⁵ is:-

hydrogen or halogen; or

straight- or branched- chain alkyl or alkoxy having up to four carbon atoms;

25 R⁶ is straight- or branched- chain alkyl, alkenyl or alkynyl having up to six carbon atoms, optionally substituted by one or more halogen;

R⁷ is straight- or branched- chain alkyl having up to six carbon atoms;

30 R⁸ and R⁹ independently are straight- or branched- chain alkyl having up to three carbon atoms;

R¹⁰ is phenyl, optionally bearing from one to three substituents which may be the same or different selected from the group consisting of halogen, a straight- or branched- chain alkyl, alkoxy group having up to three carbon atoms, optionally substituted by one or more halogen, and cyano;

35 R¹¹ and R¹² are independently selected from R⁶, R¹⁰,

$-\text{C}(\text{O})\text{R}^6$, $-\text{C}(\text{O})\text{R}^{10}$, $-\text{CO}_2\text{R}^6$ and $-\text{C}(\text{O})\text{NHR}^6$

n and m independently are zero, one or two; and

p is an integer from one to four;

with the proviso that when R^4 is $-\text{S}(\text{O})_m\text{R}^8$, R^3 is not

5 $-\text{O}(\text{CH}_2)_p\text{OR}^7$.

2. A method according to claim 1 wherein

R^1 is:-

10 straight- or branched- chain alkyl having up to three carbon atoms;

or cycloalkyl having from three or four carbon atoms, optionally having a methyl at its 1-position;

R^2 is:-

hydrogen, fluorine, chlorine or bromine;

15 straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more halogen;

a member selected from the group consisting of nitro, cyano, $-\text{S}(\text{O})_m\text{R}^8$, $-\text{OSO}_2\text{R}^8$ and $-\text{CO}_2\text{R}^9$;

R^3 is:-

20 hydrogen, fluorine, chlorine or bromine;

straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more chlorine or fluorine;

25 straight- or branched- chain alkyl having up to four carbon atoms substituted by $-\text{OR}^7$;

straight- or branched- chain alkenyl having up to four carbon atoms; or

a member selected from the group consisting of cyano, $-\text{S}(\text{O})_m\text{R}^8$, $-\text{OSO}_2\text{R}^8$, $-\text{OR}^{10}$, $-\text{CO}_2\text{R}^9$ and $-\text{O}(\text{CH}_2)_p\text{OR}^7$;

30 R^4 is:-

hydrogen, fluorine, chlorine or bromine;

straight- or branched- chain alkyl or alkoxy having up to four carbon atoms, optionally substituted by one or more halogen; or

nitro;

35 R^5 is hydrogen;

R^6 is straight- or branched- chain alkyl having up to four

carbon atoms;

R⁷ is straight- or branched- chain alkyl having up to four carbon atoms;

5 R⁹ is a straight- or branched- chain alkyl group having up to three carbon atoms;

R¹⁰ is phenyl, optionally bearing from one to three substituents which may be the same or different selected from the group consisting of fluorine, chlorine, bromine, methyl, methoxy, trifluoromethyl and trifluoromethoxy.

10

3. A method according to claim 1 wherein

R¹ is:-

straight- or branched- chain alkyl group having up to three carbon atoms; or a cyclopropyl group;

15

R² is:-

hydrogen, fluorine, chlorine or bromine;

straight- or branched- chain alkyl or alkoxy having one or two carbon atoms, optionally substituted by one or more halogen;

20

a member selected from the group consisting of nitro, cyano, -OR¹⁰, -SR¹⁰, and -CO₂R⁹;

R³ is:-

hydrogen, fluorine, chlorine or bromine;

25

a straight- or branched- chain alkyl or alkoxy group having one or two carbon atoms, optionally substituted by one or more chlorine or fluorine atoms;

methoxymethyl;

straight- or branched- chain alkenyl having up to four carbon atoms;

30

or a member selected from the group consisting of cyano, -OR¹⁰, -CO₂R⁹ and -OCH₂CH₂OCH₃;

R⁴ is:-

hydrogen, fluorine, chlorine or bromine;

straight- or branched- chain alkyl or alkoxy having one or two carbon atoms, optionally substituted by one or more halogen;

35

-S(O)_mR⁸, -OSO₂R⁸ or nitro;

R⁵ is hydrogen;

R⁶ is straight- or branched- chain alkyl having up to four carbon atoms;

R⁹ is methyl or ethyl;

5 R¹⁰ is phenyl, optionally bearing from one to three substituents which may be the same or different selected from the group consisting of fluorine, chlorine, bromine, methyl, methoxy, trifluoromethyl and trifluoromethoxy.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 95/00616

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 A01N43/80 A01N47/20

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP-A-0 418 175 (RHONE POULENC AGRICULTURE) 20 March 1991 cited in the application see the whole document ---	1-3
X	EP-A-0 487 357 (RHONE POULENC AGRICULTURE) 27 May 1992 cited in the application see the whole document ---	1-3
X	EP-A-0 524 018 (RHONE POULENC AGRICULTURE) 20 January 1993 cited in the application see the whole document ---	1-3
A	EP-A-0 457 204 (BASF AG) 21 November 1991 see page 2, line 1 - line 33 see page 14, line 43 - page 16, line 2 --- -/--	1-3

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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A	EP-A-0 428 340 (ICI PLC) 22 May 1991 see page 2, line 9 - line 19 see page 5, line 2 - line 4 ----	1-3
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